

Patel, S  
10/029871

10/029871

FILE 'REGISTRY' ENTERED AT 14:52:55 ON 14 MAY 2002  
L1 STR

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      1          8
      C          G1
           7 C    C 9
3 C      C 4      C  G2
      2 C  NH    12 G3 10
           5      11
           O
           6
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VAR G1=CH/N  
VAR G2=CH/N  
VAR G3=CH/N  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE  
L2 1544 SEA FILE=REGISTRY SSS FUL L1  
L5 STR

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      C          G1 9  G4 Cy N- G5 G6 Cy
           7 C    C 14 15 16 17 18
3 C      C 4      C  G2
      2 C  NH    12 G3 10
           5      11
           O
           6
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VAR G1=CH/N  
VAR G2=CH/N  
VAR G3=CH/N  
VAR G4=C/N/O/S  
VAR G5=C/N/S  
REP G6=(0-6) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE  
L6 87 SEA FILE=REGISTRY SOB=L2 SSS FUL L5

100.0% PROCESSED 954 ITERATIONS

82 ANSWERS

Searcher : Shears 308-4994

10/029871

SEARCH TIME: 00.00.03

**FILE CAPLUS** ENTERED AT 14:56:05 ON 14 MAY 2002  
L7 5 S L6 OR L6/D

E1 THROUGH E78 ASSIGNED

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:31464 CAPLUS

DOCUMENT NUMBER: 134:100762

TITLE: Preparation of pyridine derivatives and medicinal use thereof

INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Kodaira, Ariko; Hatanaka, Toshihiro; Takehana, Kenji; Kobayashi, Tsuyoshi; Konishi, Atsushi; Yamamoto, Takashi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002359	A1	20010111	WO 2000-JP4298	20000629
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1193255	A1	20020403	EP 2000-940879	20000629
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			JP 1999-187959	A 19990701
			JP 2000-71706	A 20000315
			WO 2000-JP4298	W 20000629
OTHER SOURCE(S):	MARPAT 134:100762			
GI				

Me

N

Me

CONH

SCH<sub>2</sub>

NHCO

Me

Me I

AB Heterocyclic compds. represented by the following general formula  
R1-CO-N(R2)-A-X-B-N(R3)-Y-(CH<sub>2</sub>)<sub>n</sub>-R4 [R1 = (un)substituted or cycloalkenyl; R2, R3 = H, alkyl; R4 = (un)substituted alkyl,

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alkenyl, cycloalkyl, cycloalkenyl, aryl, or heterocyclyl having .gtoreq.1 heteroatom(s); A = (un)substituted heterocyclic ring; B = (un)substituted arom. or heterocyclic ring; n = 0-6; Y = a bond between atoms, CO, CO2, CONR5, C(S)NR5, SO, SO2 (wherein R5 = H, alkyl); X = a bond between atoms, O, OCHR7, CHR8O, O2C, CO2, OC(S), C(S)O, S, SO, SO2, SCHR9, CHR10S, SC(O), C(O)S, SC(S), C(S)S, SO2 NR11, NR12SO2, NR13, etc.; R7 - R10 = H, alkyl; R11 - R13 = H, alkyl, acyl] or pharmacol. acceptable salts thereof are prepd. These compds. have inhibitory effects on AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor, etc. and are usable as drugs such as antiinflammatory, antirheumatic, antiviral agents, immunosuppressants, cancer metastasis inhibitors, and antiarteriosclerotics. Thus, 2-mercapto-5-nitropyridine was treated with NaH in DMF and then alkylated by 1-bromomethyl-4-nitrobenzene at room temp. for 1.5 h to give 2-(4-nitrobenzylthio)-5-nitropyridine which was reduced by Zn/AcOH in THF at room temp. for 16 h to 2-(4-aminobenzylthio)-5-aminopyridine and then acylated by 2,2-dimethylcyclopropanecarbonyl chloride in the presence of Et3N in CH2Cl2 at room temp. for 17 h to give 2-(4-(2,2-dimethylcyclopropanecarbonylamino)benzylthio)-5-(2,2-dimethylcyclopropanecarbonylamino)pyridine (I). I in vitro inhibited NF-kappa B activity with IC50 of 0.015 .mu.g/mL in an assay measuring .beta.-galactosidase activity expressed in HUVEC cells and driven by NF-kappa B-binding sequence-fused SV40 T antigen min. promoter.

IT **318967-19-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)

IT **318967-14-5P 318967-15-6P 318967-16-7P**  
**318967-17-8P 318967-18-9P 318967-20-3P**  
**318967-21-4P 318967-22-5P 318967-23-6P**  
**318967-28-1P 318967-30-5P 318967-31-6P**  
**318967-34-9P 318967-35-0P 318967-36-1P**  
**318967-37-2P 318967-38-3P 318967-39-4P**  
**318967-40-7P 318967-44-1P 318967-45-2P**  
**318967-46-3P 318967-47-4P 318967-48-5P**  
**318967-49-6P 318967-50-9P 318967-51-0P**  
**318967-52-1P 318967-55-4P 318967-56-5P**  
**318967-57-6P 318967-58-7P 318967-59-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)

IT **318967-60-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity,

10/029871

NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:666734 CAPLUS

DOCUMENT NUMBER: 133:252451

TITLE: Preparation of biarylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents.

INVENTOR(S): Trova, Michael P.

PATENT ASSIGNEE(S): Albany Molecular Research, Inc., USA

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

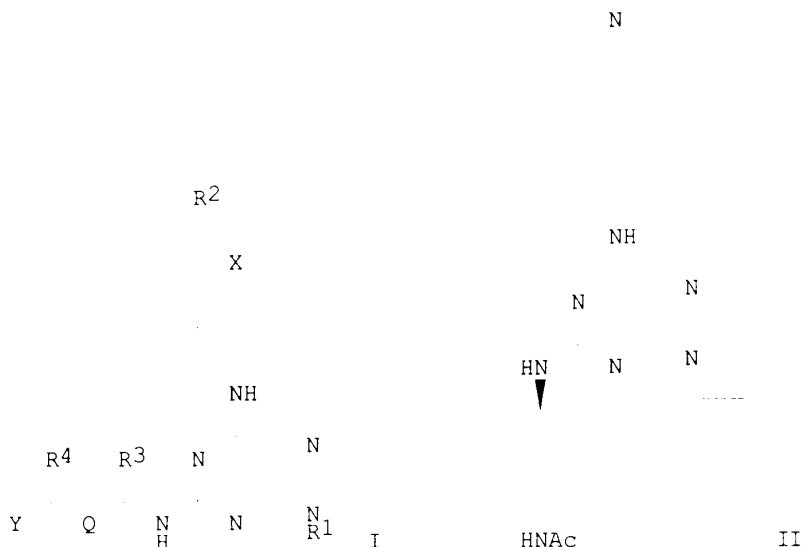
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055161	A1	20000921	WO 2000-US7065	20000316
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1165561	A1	20020102	EP 2000-918057	20000316
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			

PRIORITY APPLN. INFO.: US 1999-124829P P 19990317  
US 2000-493790 A 20000128  
WO 2000-US7065 W 20000316

OTHER SOURCE(S): MARPAT 133:252451  
GI

Searcher : Shears 308-4994

10/029871



AB Title compds. [I; R<sub>1</sub>, R<sub>4</sub> = H, alkyl; X = N, CH; R<sub>2</sub> = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R<sub>3</sub> = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R<sub>3</sub>R<sub>4</sub> = atoms to form a 5-8 membered ring; Y = H, OR<sub>1</sub>, NHR<sub>1</sub>, NHCOR<sub>3</sub>, NHSO<sub>2</sub>R<sub>3</sub>, etc.; Q = (CH<sub>2</sub>)<sub>n</sub>; n = 0-3; with provisos], were prepd. Thus, title compd. (II) (prepn. from 2,6-dichloropurine given) inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI<sub>50</sub> <0.01 .mu.M.

IT 294648-31-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

(prepn. of biarylaminopurines as potent cyclin/CDK  
inhibitors and antiproliferative agents)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:191054 CAPLUS

DOCUMENT NUMBER: 132:222342

TITLE: Benzene derivatives and medicinal use thereof  
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Tsuji, Takashi;  
Kodaira, Ariko; Takehana, Kenji; Kobayashi,  
Tsuyoshi; Yamamoto, Takashi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

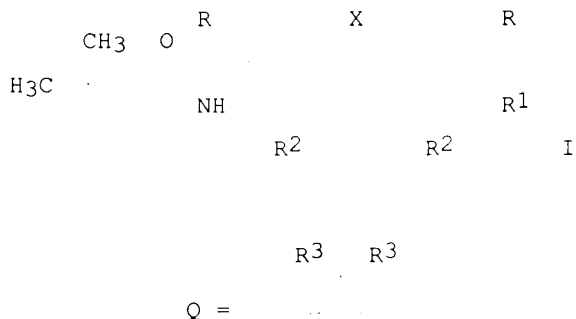
FAMILY ACC. NUM. COUNT: 1

Searcher : Shears 308-4994

10/029871

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015603	A1	20000323	WO 1999-JP4986	19990913
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956502	A1	20000403	AU 1999-56502	19990913
BR 9913562	A	20010522	BR 1999-13562	19990913
EP 1113000	A1	20010704	EP 1999-943309	19990913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001001157	A	20010425	NO 2001-1157	20010307
US 2001018441	A1	20010830	US 2001-803107	20010312
PRIORITY APPLN. INFO.:			JP 1998-257804	A 19980911
			WO 1999-JP4986	W 19990913
OTHER SOURCE(S):			MARPAT 132:222342	
GI				



10/029871

AB Title compds. [I; X = CO, S, NH, O, SO<sub>2</sub>, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CHOH, CHOCH<sub>3</sub>, C:CH<sub>2</sub>, CHCH<sub>2</sub>OH, S:O, OCH<sub>2</sub>, SCH<sub>2</sub>, CH:CH, SO<sub>2</sub>NH, SO<sub>2</sub>NCH<sub>3</sub>, CONH, CONCH<sub>3</sub>; R = H, CH<sub>3</sub>, Cl; R<sub>1</sub> = NHCOQ, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CONH, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NH, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>CONH, NH<sub>2</sub>, 4(CH<sub>3</sub>)<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CONH, 4-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CONH, NHCOCH<sub>3</sub>; R<sub>3</sub> = Cl, CH<sub>3</sub>; Q = N-contg.-heterocyclo], stereoisomers, and pharmaceutically acceptable salts thereof are prepd. as AP-1 activation inhibitors, NF-kappa B activation inhibitors, inflammatory cytokine prodn. inhibitors, matrix metalloprotease prodn. inhibitors, inflammatory cell adhesion factor expression inhibitors, anti-inflammatory agents, antirheumatic agents, immunosuppressive agents, cancerous metastasis inhibitors, and remedies for arteriosclerosis or antiviral agents contg. the above compds. as the active ingredient. The title compd. II was prepd. and tested.

IT 261001-10-9P 261001-11-0P 261001-16-5P  
261001-17-6P 261001-19-8P 261001-22-3P  
261001-23-4P 261001-24-5P 261001-25-6P  
261001-27-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of benzene derivs. as medicine)

IT 261001-12-1P 261001-13-2P 261001-14-3P  
261001-18-7P 261001-20-1P 261001-21-2P  
261001-26-7P 261001-28-9P 261001-31-4P  
261001-32-5P 261001-33-6P 261001-34-7P  
261001-35-8P 261001-36-9P 261001-42-7P  
261001-43-8P 261001-46-1P 261001-51-8P  
261001-52-9P 261001-53-0P 261001-63-2P  
261164-66-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzene derivs. as medicine)

IT 261001-37-0P 261001-39-2P 261001-40-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of benzene derivs. as medicine)

IT 261001-29-0P 261001-41-6P 261001-45-0P  
261001-61-0P 261001-62-1P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzene derivs. as medicine)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:763862 CAPLUS  
DOCUMENT NUMBER: 132:442  
TITLE: Aryl compounds, and preparation thereof, having  
IgE-affecting properties  
INVENTOR(S): Sircar, Jagadish; Richards, Mark L.; Campbell,  
Michael G.; Major, Michael W.  
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA

Searcher : Shears 308-4994

10/029871

SOURCE: PCT Int. Appl., 69 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961013	A2	19991202	WO 1999-US11363	19990521
WO 9961013	A3	20000406		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9941978	A1	19990521	AU 1999-41978	19990521
EP 1077695	A2	20010228	EP 1999-925756	19990521
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9910640	A	20011030	BR 1999-10640	19990521
NO 2000005887	A	20010119	NO 2000-5887	20001121
PRIORITY APPLN. INFO.:			US 1998-86494P P	19980522
			WO 1999-US11363 W	19990521

OTHER SOURCE(S): MARPAT 132:442

AB Small mol. inhibitors of the IgE response to allergens are provided which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic.

IT **251340-11-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(aryl compd. prepn. for inhibition of IgE response)

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1969:491119 CAPLUS  
DOCUMENT NUMBER: 71:91119  
TITLE: Pesticidal cyclopropanecarboxanilides  
INVENTOR(S): Janiak, Stefan  
PATENT ASSIGNEE(S): CIBA Ltd.  
SOURCE: Ger., Offen., 32 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1803084		19690619		
PRIORITY APPLN. INFO.:			CH	19671019
GI				For diagram(s), see printed CA Issue.

Searcher : Shears 308-4994



AB I were active against insect pests, acarina, nematodes, phytopathogenic fungi and bacteria, and gastropodes. Chemosterilant activity was observed in houseflies particularly from cyclopropanecarbox-2-nitranilide and the 4-iodoanilide. Rat toxicity was LD50 .gtoreq.6 g./kg. body wt. I were prepd. by treating the appropriate aniline with cyclopropanecarboxylic acid, its acid halide, anhydride, or ester or by subsequent halogenation or alkylation of the anilide. To a cooled, stirred mixt. of 39 g. of 2,4,5,-Cl3-C6H2NH2, 16 ml. pyridine, and 500 ml. EtOAc was slowly added 20.9 g. cyclopropanecarbonyl chloride in 50 ml. EtOAc to give 52 g. I (R = H, R1 = 2,4,5-Cl3), m.165.7.degree. (benzene). I (R = H) similarly prepd. were (R1 and m.p. given): 4-MeO-2-NO2, 118-21.degree.; 2-Cl-5-CF3, 104-6.degree.; 2,5-Cl2, 145-6.degree.; 4-Cl-2-Me, 181-2.degree.; 3,5-(CF3)2, 162-3.degree.; 5-Cl-2-MeO, 94-7.degree.; 4-Cl-2-MeO-6-Me, 142-6.degree.; 2,4-Me2, 157-60.degree.; 3,4,5-Cl3, 163-5.degree.; 2,4-Cl2-6-O2N, 115-18.degree.; 4-Br-2-Me, 185-7.degree.; 2-Br-4-Me, 130-2.degree.; 3-Cl-2-Me, 165-6.degree.; 5-Cl-2-Me, 154-5.degree.; 2-Cl-4-NO2, 163-5.degree.; 4-Cl-2-NO2, 107-9.degree.; 2-MeO-4-NO2, 149-51.degree.; 2-MeO-5-NO2, 186-8.degree.; 2-MeO-5-Me-4-NO2, 155-7.degree.; 4-Cl-2,5-(MeO)2, 126-8.degree.; 3,5-Cl2, 162-4.degree.; 2-Br-5-CF3, 93-5.degree.; 4-Me, 159-61.degree.; 2-Me-4-NO2, 200-3.degree.; 4-H2N-3,5-Cl2, 208-11.degree.; 2,4-Br2-5-CF3, 153-5.degree.; 3-Cl-4-Me2N, 112-13.degree.; 4-Cl-2-EtO2C, -; 4-Br, 183-5.degree.; 3-Me, 95-7.degree.; 4-I, 209-11.degree.; 3-Br, 139-41.degree.; 3-Cl, 140-2.degree.; 4-NCS-3-Me, 128-30.degree.; 3-Cl-4-NCS, 97-100.degree.; 3-Cl-4-MeO, 124-5.degree.; 4-Me2N, 170-1.degree.; 4-MeS, 132-5.degree.; 2-Cl-4-MeS, -; 2,6-Cl2-4-MeS, -; 4-HO2C, 273-9.degree.; 4,5-Cl2-2-O2N, 131-4.degree.; 4-NCS, 116-18.degree.; 4-cyano, 202-4.degree.; 3,5-Br2-4-HO, 163-5.degree.; 4-MeO, 128-30.degree.; 4-Ac, 176-8.degree.; 4-H2NSO2, 263-6.degree.; 4-H2NSO2-2-HO, 228-9.degree.; 4-MeSO2, -; H, 101-3.degree.; 4-MeNHSO2, -; 4-I-3-Me, 146-8.degree.; 4-F, 160-1.degree.; 3-Cl-4-Me, 140-3.degree.; 3-Cl-4-I, 147-9.degree.; 3,4-Cl2, 126-8.degree.; 3-CF3, 118-20.degree.; 2-Cl-6-Me, 145-7.degree.; 3,4-Me2, 134-6.degree.; 4-Cl-3-CF3, 117-19.degree.; 4-Br-3-Cl, 125-6.degree.; 4-Br-2-CF3, 165-6.degree.; 3-I, 121-3.degree.; 5-Et2NSO2-2-Me, 164-6.degree.; 4-NO2, 175-7.degree.; 4-Cl-3-Me, 249-50.degree.; 2-Cl, 108-10.degree.; 2-NO2, 117-19.degree.; 3-Cl-4-CF3, 128-9.degree.; 2,6-Et2, 167-8.degree.; 4-cyclopropanecarboxamido (A), >250.degree.; 3-MeS, 106-9.degree.; 4-MeO-3-NO2, 134-7.degree.; 4-CF3, 171-3.degree.; 5-H2NSO2-2-HO, 228-9.degree.; 4-A-2,6-Cl2, 265-6.degree.; 4-HO, 205-7.degree.; 3-Br-4-Cl, 114-15.degree.; 2,4-Br2 167-9.degree.; 4-(4-methoxyphenoxy) 163-5.degree.; 4-Br-2-Cl, 157-9.degree.; 4-cyclopropanecarbonyloxy-3,5-dibromo, 195-8.degree.; 4-[4-(cyclopropanecarboxamido)benzyl] 247-8.degree.; 4-carbamoyl, >260.degree.; 4-(2-pyrrolidinon-1-yl), 219-20.degree.; 3-chloro-4-(2-chlorophenoxy), 160-2.degree.; 4-(2,5-dichlorophenoxy), 159-61.degree.; 4-(4-chloro-2-methylphenoxy), 156-8.degree.; 3-chloro-4-(2,4-dichloro-6-methylphenoxy), 183-5.degree.; 4-Cl, 165-7.degree.; and 2,4-dichloro, 157-60.degree.. Also similarly prepd. I were: R1 = 4-MeO, R = Me, b0.cntdot.04 100-5.degree., and I, R1 = H, R = Ph, m. 42-6.degree.. I were formulated in the usual manner; pesticidal (including ovicidal) data were given. In the table above, NCS stands for thiocyanato.

IT 23737-36-2P

10/029871

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

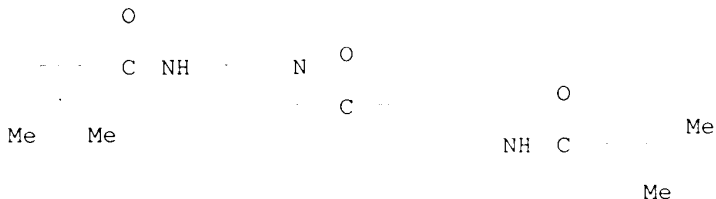
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*Random RNs /  
Strs display  
↓*

=> d 1,15,28,36-38,47,58,62,77,78 ide can

L8 ANSWER 1 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **318967-60-1** REGISTRY  
CN Cyclopropanecarboxamide, N-[6-[4-[(2,2-  
dimethylcyclopropyl)carbonyl]amino]benzoyl]-3-pyridinyl]-2,2-  
dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C24 H27 N3 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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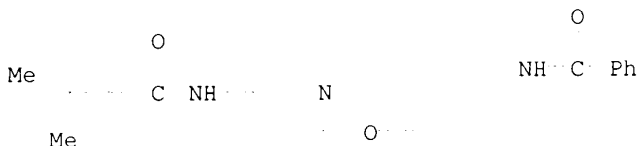
Searcher : Shears 308-4994

10/029871

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:100762

L8 ANSWER 15 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **318967-44-1** REGISTRY  
CN Benzamide, N-[4-[[5-[[2,2-dimethylcyclopropyl)carbonyl]amino]-2-pyridinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C24 H23 N3 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

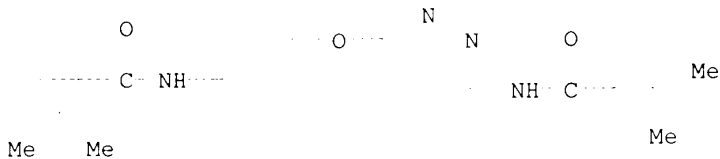


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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:100762

L8 ANSWER 28 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **318967-21-4** REGISTRY  
CN Cyclopropanecarboxamide, N-[6-[4-[[2,2-dimethylcyclopropyl)carbonyl]amino]phenoxy]-3-pyridazinyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C22 H26 N4 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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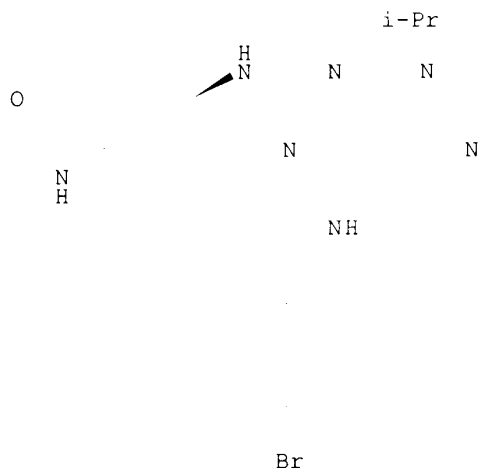
REFERENCE 1: 134:100762

Searcher : Shears 308-4994

10/029871

L8 ANSWER 36 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **294648-31-0** REGISTRY  
CN Cyclopropanecarboxamide, N-[trans-4-[[6-[[[4-bromophenyl)methyl]amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H32 Br N7 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

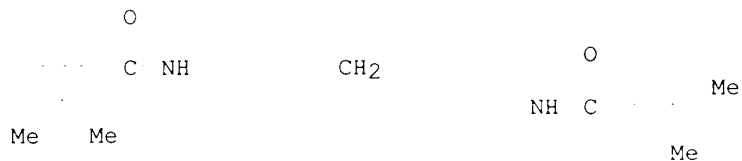


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REFERENCE 1: 133:252451

L8 ANSWER 37 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **261164-66-3** REGISTRY  
CN Cyclopropanecarboxamide, N-[3-[[4-[[[2,2-dimethylcyclopropyl)carbonyl]amino]phenyl)methyl]phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H30 N2 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



Searcher : Shears 308-4994

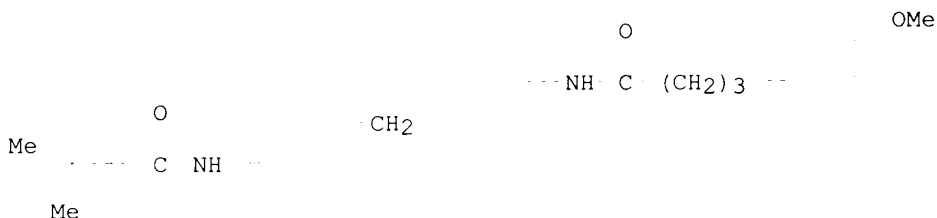
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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:222342

L8 ANSWER 38 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **261001-63-2** REGISTRY  
CN Benzenebutanamide, N-[4-[[4-[[[(2,2-dimethylcyclopropyl)carbonyl]amin  
o]phenyl]methyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C30 H34 N2 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

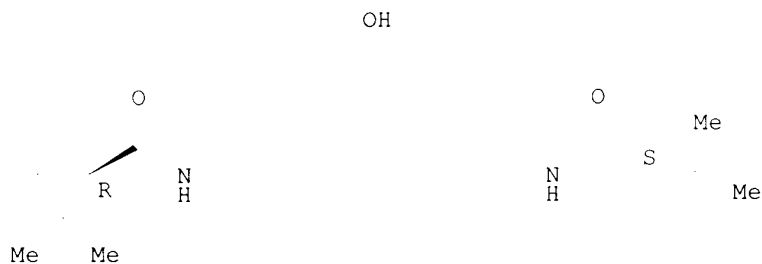
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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:222342

L8 ANSWER 47 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **261001-42-7** REGISTRY  
CN Cyclopropanecarboxamide, N,N'-[(hydroxymethylene)di-4,1-  
phenylene]bis[2,2-dimethyl-, (1R,1'S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H30 N2 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

10/029871

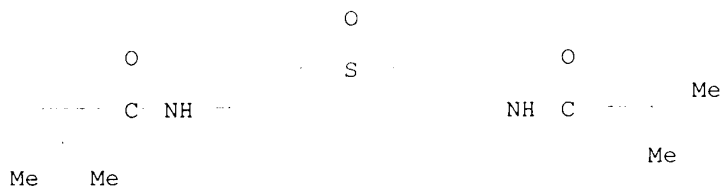


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1 REFERENCES IN FILE CA (1967 TO DATE)  
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REFERENCE 1: 132:222342

L8 ANSWER 58 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **261001-29-0** REGISTRY  
CN Cyclopropanecarboxamide, N,N'-(sulfinyldi-4,1-phenylene)bis[2,2-dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C24 H28 N2 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

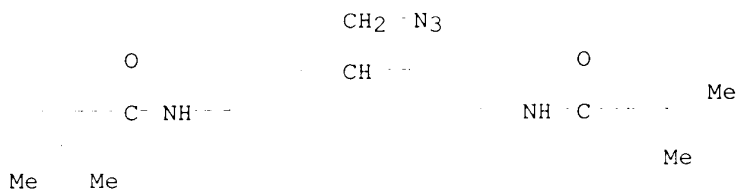
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REFERENCE 1: 132:222342

L8 ANSWER 62 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **261001-25-6** REGISTRY  
CN Cyclopropanecarboxamide, N,N'-[(2-azidoethylidene)di-4,1-phenylene]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C26 H31 N5 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Searcher : Shears 308-4994

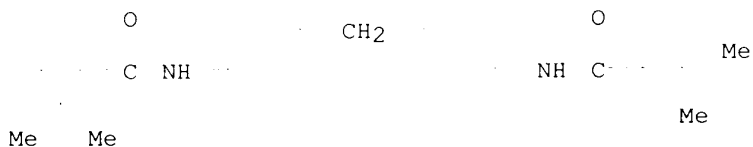
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1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:222342

L8 ANSWER 77 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **251340-11-1** REGISTRY  
CN Cyclopropanecarboxamide, N,N'-(methylenedi-4,1-phenylene)bis[2,2-dimethyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H30 N2 O2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

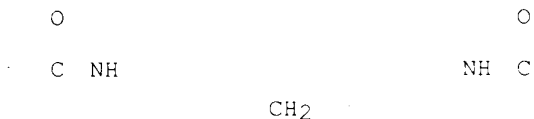


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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:442

L8 ANSWER 78 OF 78 REGISTRY COPYRIGHT 2002 ACS  
RN **23737-36-2** REGISTRY  
CN Cyclopropanecarboxanilide, 4',4'''-methylenebis- (8CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C21 H22 N2 O2  
LC STN Files: BEILSTEIN\*, CA, CAPLUS, CHEMCATS, TOXCENTER  
(\*File contains numerically searchable property data)



Searcher : Shears 308-4994

10/029871

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 71:91119

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~~FILE 'USPATFULL'~~ ENTERED AT 14:59:12 ON 14 MAY 2002  
L10 3 S L8

L10 ANSWER 1 OF 3 USPATFULL

ACCESSION NUMBER: 2002:17463 USPATFULL  
TITLE: Compounds having IgE affecting properties  
INVENTOR(S): Sircar, Jagadish C., San Diego, CA, UNITED STATES  
Richards, Mark L., La Jolla, CA, UNITED STATES  
Campbell, Michael G., Durham, NC, UNITED STATES  
Major, Michael W., Glendale, WI, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002010343	A1	20020124
APPLICATION INFO.:	US 2001-882340	A1	20010614 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-316870, filed on 21 May 1999, GRANTED, Pat. No. US 6271390		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-86494P	19980522 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	KNOBBE MARTENS OLSON & BEAR LLP, 620 NEWPORT CENTER DRIVE, SIXTEENTH FLOOR, NEWPORT BEACH, CA, 92660	
NUMBER OF CLAIMS:	28	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1089	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to small molecule inhibitors of the IgE response to allergens which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER: 2001:145304 USPATFULL  
TITLE: Benzene derivatives and Pharmaceutical use thereof  
INVENTOR(S): Iino, Yukio, Kawasaki-Shi, Japan  
Fujita, Kohichi, Kawasaki-Shi, Japan  
Tsuji, Takashi, Kawasaki-Shi, Japan  
Kodaira, Ariko, Kawasaki-Shi, Japan  
Takehana, Kenji, Kawasaki-Shi, Japan  
Kobayashi, Tsuyoshi, Kawasaki-Shi, Japan  
Yamamoto, Takashi, Kawasaki-Shi, Japan  
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Chuo-ku, Japan (non-U.S.)

Searcher : Shears 308-4994



10/029871

corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001018441	A1	20010830
APPLICATION INFO.:	US 2001-803107	A1	20010312 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1999-JP4986, filed on 11 Sep 1999, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-257804	19980911
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755 JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1362	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an AP-1 activation inhibitor, NF-kappa B activation inhibitor, inflammatory cytokine production inhibitor, matrix metalloprotease production inhibitor, inflammatory cell adhesion molecule expression inhibitor, anti-inflammatory agent, antirheumatic agent, immunosuppressant, cancer metastasis inhibitor, remedy for arteriosclerosis and antiviral agent which contain the benzene derivative of the following general formula (I) or a pharmaceutically acceptable salt thereof as an active ingredient. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 3 OF 3 USPATFULL

ACCESSION NUMBER: 2001:126151 USPATFULL  
TITLE: Suppression of the IgE-dependent allergic response by benzimidazole analogs  
INVENTOR(S): Sircar, Jagadish C., San Diego, CA, United States  
Richards, Mark L., La Jolla, CA, United States  
Campbell, Michael G., Durham, NC, United States  
Major, Michael W., Glendale, WI, United States  
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6271390	B1	20010807
APPLICATION INFO.:	US 1999-316870		19990521 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-86494P	19980522 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Higel, Floyd D.	
LEGAL REPRESENTATIVE:	Knobbe, Martens, Olson & Bear LLP	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	

Searcher : Shears 308-4994

10/029871

LINE COUNT: 962

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to small molecule inhibitors of the IgE response to allergens which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L5 STR

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           7 C      C      14  15  16  17  18

3 C      C      4      C      G2
      2      C      NH      12  G3  10
           5      11

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      6
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VAR G2=CH/N

VAR G3=CH/N

VAR G4=C/N/O/S

VAR G5=C/N/S

REP G6=(0-6) CH2

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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

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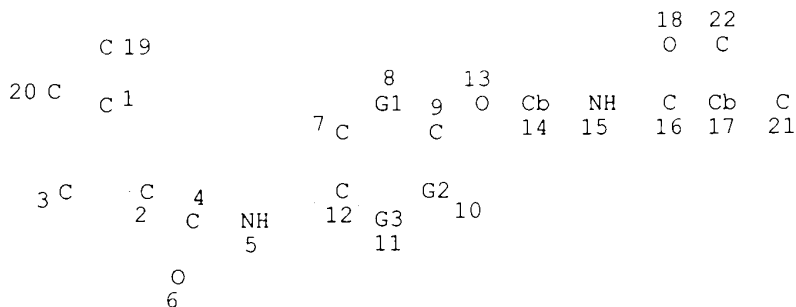
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ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L12 212 SEA FILE=MARPAT SSS FUL L5 (MODIFIED ATTRIBUTES)  
L13 STR

10/029871



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DEFAULT ECLEVEL IS LIMITED  
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STEREO ATTRIBUTES: NONE

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**L14** **134:207722 MARPAT** **308-4994** FUL L13 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 211 ITERATIONS **134:207722 MARPAT** 4 ANSWERS  
SEARCH TIME: 00.00.20

L14 ANSWER 1 OF 4 MARPAT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 134:207722 MARPAT  
TITLE: Preparation of aromatic and heterocyclic compounds having cyclopropanecarboxamide moieties as inhibitors of NF-kappa B activation, inflammatory cytokine production, matrix metalloprotease production and inflammatory cell adhesion factor expression  
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Yamamoto, Takashi; Takehana, Kenji; Kobayashi, Tsuyoshi  
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1

Searcher : Shears 308-4994

10/029871

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001016091	A1	20010308	WO 2000-JP5914	20000831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 1999-247483	19990901
GI				

R2  
 R3  
 R1 : --CO N A N CO-- R4  
 R5 R6 I

AB The title compds. I [R1 to R4 represent each Me, etc.; R5 and R6 represent each hydrogen, alkyl, etc.; A = (un)substituted arylene, etc.] are prepd. I are useful as antiinflammatory agents, antirheumatic agents, immunosuppressants, cancer metastasis inhibitors, antiviral agents. Compds. of this invention in vitro showed IC50 values of 1 .mu.g/mL to 4 .mu.g/mL against NF-kappa B activity.

IC ICM C07C233-60  
 ICS C07C233-62; C07C317-22; C07D213-75; A61K031-167; A61K031-44; A61P029-00; A61P031-12; A61P035-04; A61P037-06; A61P043-00

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1, 24, 25

ST arom heterocycle nuclear factor kappa B inhibitor; antiinflammatory immunosuppressant antiviral metastasis inhibitor arom heterocycle

IT Transcription factors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (NF-kappa B; prepn. of arom. and heterocyclic compds. having cyclopropanecarboxamide moieties as inhibitors of NF-kappa B activation or inflammatory cytokine prodn.)

IT Antitumor agents  
 (arom. and heterocyclic compds. having cyclopropanecarboxamide moieties with activity against NF-kappa B activation or inflammatory cytokine prodn., matrix metalloprotease prodn.)

IT Cell adhesion  
 (factor, inflammatory; prepn. of arom. and heterocyclic compds. having cyclopropanecarboxamide moieties as inhibitors of NF-kappa B activation or inflammatory cytokine prodn.)

Searcher : Shears 308-4994

10/029871

IT Neoplasm  
(metastasis; prepn. and effect of arom. and heterocyclic compds.  
having cyclopropanecarboxamide moieties with activity against  
NF-kappa B activation or inflammatory cytokine prodn.)

IT Anti-inflammatory agents  
Antirheumatic agents  
Antiviral agents  
Immunosuppressants  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

IT Cytokines  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

IT 329011-28-1P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

IT 329011-29-2P 329011-31-6P 329011-33-8P 329011-35-0P  
329011-37-2P 329011-39-4P 329011-41-8P 329011-43-0P  
329011-45-2P 329011-47-4P 329011-49-6P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

IT 141907-41-7, Matrix metalloprotease  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

IT 136-17-4, 2,4-Diaminodiphenylamine 141-86-6, 2,6-Diaminopyridine  
2479-46-1, 1,3-Bis(4-aminophenoxy)benzene 2687-27-6 2716-10-1  
3491-12-1, 1,4-Bis(4-aminophenoxy)benzene 5840-10-8 13080-85-8,  
4,4'-Bis(4-aminophenoxy)biphenyl 13080-86-9, 2,2-Bis[4-(4-  
aminophenoxy)phenyl]propane 13080-89-2, Bis[4-(4-  
aminophenoxy)phenyl]sulfone 39070-63-8, 3,4-Diaminobenzophenone  
50675-57-5, 2,2-Dimethylcyclopropanecarbonyl chloride  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of arom. and heterocyclic compds. having  
cyclopropanecarboxamide moieties as inhibitors of NF-kappa B  
activation or inflammatory cytokine prodn.)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L14 ANSWER 2 OF 4 MARPAT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 134:100762 MARPAT

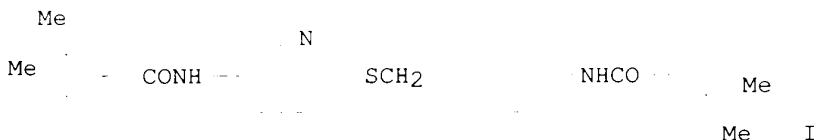
Searcher : Shears 308-4994

10/029871

TITLE: Preparation of pyridine derivatives and medicinal use thereof  
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Kodaira, Ariko; Hatanaka, Toshihiro; Takehana, Kenji; Kobayashi, Tsuyoshi; Konishi, Atsushi; Yamamoto, Takashi  
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
SOURCE: PCT Int. Appl., 86 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002359	A1	20010111	WO 2000-JP4298	20000629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1193255	A1	20020403	EP 2000-940879	20000629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1999-187959	19990701
			JP 2000-71706	20000315
			WO 2000-JP4298	20000629

GI



AB Heterocyclic compds. represented by the following general formula  
R1-CO-N(R2)-A-X-B-N(R3)-Y-(CH<sub>2</sub>)<sub>n</sub>-R<sub>4</sub> [R<sub>1</sub> = (un)substituted or cycloalkenyl; R<sub>2</sub>, R<sub>3</sub> = H, alkyl; R<sub>4</sub> = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, or heterocyclyl having .gtoreq.1 heteroatom(s); A = (un)substituted heterocyclic ring; B = (un)substituted arom. or heterocyclic ring; n = 0-6; Y = a bond between atoms, CO, CO<sub>2</sub>, CONR<sub>5</sub>, C(S)NR<sub>5</sub>, SO, SO<sub>2</sub> (wherein R<sub>5</sub> = H, alkyl); X = a bond between atoms, O, OCHR<sub>7</sub>, CHR<sub>8</sub>O, O<sub>2</sub>C, CO<sub>2</sub>, OC(S), C(S)O, S, SO, SO<sub>2</sub>, SCHR<sub>9</sub>, CHR<sub>10</sub>S, SC(O), C(O)S, SC(S), C(S)S, SO<sub>2</sub> NR<sub>11</sub>, NR<sub>12</sub>SO<sub>2</sub>, NR<sub>13</sub>, etc.; R<sub>7</sub> - R<sub>10</sub> = H, alkyl; R<sub>11</sub> - R<sub>13</sub> = H, alkyl, acyl] or pharmacol. acceptable salts thereof are prepd. These compds. have inhibitory effects on AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor, etc. and

Searcher : Shears 308-4994

10/029871

are usable as drugs such as antiinflammatory, antirheumatic, antiviral agents, immunosuppressants, cancer metastasis inhibitors, and antiarteriosclerotics. Thus, 2-mercapto-5-nitropyridine was treated with NaH in DMF and then alkylated by 1-bromomethyl-4-nitrobenzene at room temp. for 1.5 h to give 2-(4-nitrobenzylthio)-5-nitropyridine which was reduced by Zn/AcOH in THF at room temp. for 16 h to 2-(4-aminobenzylthio)-5-aminopyridine and then acylated by 2,2-dimethylcyclopropanecarbonyl chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> at room temp. for 17 h to give 2-(4-(2,2-dimethylcyclopropanecarbonylamino)benzylthio)-5-(2,2-dimethylcyclopropanecarbonylamino)pyridine (I). I in vitro inhibited NF-kappa B activity with IC<sub>50</sub> of 0.015 .mu.g/mL in an assay measuring .beta.-galactosidase activity expressed in HUVEC cells and driven by NF-kappa B-binding sequence-fused SV40 T antigen min. promoter.

- IC C07D211-58; C07D213-75; C07D213-76; C07D237-20; C07D237-22;  
C07D239-42; C07D239-48; C07D277-44; A61K031-44; A61K031-445;  
A61K031-50; A61K031-505; A61P029-00
- CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1
- ST pyridine prepn antiinflammatory; antirheumatic pyridine prepn;  
antiviral immunosuppressant pyridine prepn; cancer metastasis  
inhibitor pyridine prepn; antiarteriosclerotics pyridine prepn
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(AP-1 (activator protein 1); prepn. of pyridine derivs. as  
inhibitors of AP-1 activity, NF-kappa B activity, inflammatory  
cytokine prodn., matrix metalloprotease prodn., expression of  
inflammatory cell adhesion factor)
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(NF-kappa B (nuclear factor .kappa. B); prepn. of pyridine  
derivs. as inhibitors of AP-1 activity, NF-kappa B activity,  
inflammatory cytokine prodn., matrix metalloprotease prodn.,  
expression of inflammatory cell adhesion factor)
- IT Cell adhesion  
(factor, inflammatory; prepn. of pyridine derivs. as inhibitors  
of AP-1 activity, NF-kappa B activity, inflammatory cytokine  
prodn., matrix metalloprotease prodn., expression of inflammatory  
cell adhesion factor)
- IT Cytokines  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)  
(inflammatory; prepn. of pyridine derivs. as inhibitors of AP-1  
activity, NF-kappa B activity, inflammatory cytokine prodn.,  
matrix metalloprotease prodn., expression of inflammatory cell  
adhesion factor)
- IT Antitumor agents  
(metastasis; prepn. of pyridine derivs. as antiinflammatory,  
antirheumatic, antiviral agents, immunosuppressants, cancer  
metastasis inhibitors, and antiarteriosclerotics)
- IT Anti-inflammatory agents  
Antiarteriosclerotics  
Antirheumatic agents  
Antiviral agents  
Immunosuppressants

10/029871

- (prepn. of pyridine derivs. as antiinflammatory, antirheumatic, antiviral agents, immunosuppressants, cancer metastasis inhibitors, and antiarteriosclerotics)
- IT 318967-19-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)
- IT 318967-14-5P 318967-15-6P 318967-16-7P 318967-17-8P  
318967-18-9P 318967-20-3P 318967-21-4P 318967-22-5P  
318967-23-6P 318967-24-7P 318967-25-8P 318967-26-9P 318967-27-0P 318967-28-1P 318967-29-2P 318967-30-5P 318967-31-6P  
318967-32-7P 318967-33-8P 318967-34-9P 318967-35-0P  
318967-36-1P 318967-37-2P 318967-38-3P 318967-39-4P  
318967-40-7P 318967-41-8P 318967-42-9P 318967-43-0P  
318967-44-1P 318967-45-2P 318967-46-3P 318967-47-4P  
318967-48-5P 318967-49-6P 318967-50-9P 318967-51-0P  
318967-52-1P 318967-53-2P 318967-54-3P 318967-55-4P  
318967-56-5P 318967-57-6P 318967-58-7P 318967-59-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)
- IT 81669-70-7, Metalloprotease  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)
- IT 88-75-5, 2-Nitrophenol 98-09-9, Benzenesulfonyl chloride  
98-88-4, Benzoyl chloride 100-02-7, 4-Nitrophenol, reactions  
100-11-8, 4-Nitrobenzyl bromide 103-63-9, 2-Bromoethylbenzene  
103-71-9, Phenyl isocyanate, reactions 103-72-0, Phenyl isothiocyanate 103-80-0, Phenylacetyl chloride 104-03-0, 4-Nitrophenylacetic acid 108-24-7, Acetic anhydride 122-04-3, 4-Nitrobenzoyl chloride 123-30-8, 4-Hydroxyaniline 554-84-7, 3-Nitrophenol 636-98-6, 1-Iodo-4-nitrobenzene 637-59-2, 3-Phenylpropyl bromide 661-69-8, Hexamethylditin 932-67-2, 3-Cyclohexenecarbonyl chloride 1821-12-1, 4-Phenylbutanoic acid 1849-36-1, 4-Nitrobenzenethiol 2127-09-5, 2-Mercapto-5-nitropyridine 2581-34-2, 3-Methyl-4-nitrophenol 2719-27-9, Cyclohexanecarbonyl chloride 3073-77-6, 2-Amino-5-nitropyrimidine 3958-57-4, 3-Nitrobenzyl bromide 3958-60-9, 2-Nitrobenzyl bromide 4487-59-6, 2-Bromo-5-nitropyridine 4548-45-2, 2-Chloro-5-nitropyridine 4693-91-8, 4-Methoxyphenylacetyl chloride 5339-26-4, 2-(4-Nitrophenyl)ethyl bromide 5365-15-1, 2,2-Dichlorocyclopropanecarbonyl chloride 5418-51-9, 2-Hydroxy-5-nitropyridine 5469-69-2, 3-Amino-6-chloropyridazine 7169-97-3, 2-Acetamido-5-bromopyridine 10313-60-7,

Searcher : Shears 308-4994



10/029871

3,4-Dimethoxyphenylacetyl chloride 14221-01-3,  
Tetrakis(triphenylphosphine)palladium 23056-33-9,  
2-Chloro-4-methyl-5-nitropyridine 24424-99-5, Di-tert-butyl  
dicarbonate 25026-34-0, 4-Chlorophenylacetyl chloride 33332-29-5  
39053-78-6, 3,4,5-Trimethoxyphenylacetyl chloride 50541-93-0,  
4-Amino-1-benzylpiperidine 50675-57-5, 2,2-  
Dimethylcyclopropanecarbonyl chloride 54840-15-2,  
4-(tert-Butoxycarbonylamino)phenol 55972-71-9, p-Phenylenediamine  
hydrochloride 60733-34-8, 2-Methylcyclopropanecarbonyl chloride  
69097-20-7, Tris(trimethylsiloxy)ethylene 89312-77-6 90403-98-8,  
2-Methylcyclohexanecarbonyl chloride 103554-20-7 193204-58-9  
318967-66-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity,  
NF-kappa B activity, inflammatory cytokine prodn., matrix  
metalloprotease prodn., expression of inflammatory cell adhesion  
factor)

IT 4982-09-6P 13534-97-9P, 5-Amino-2-bromopyridine 24253-19-8P  
29958-19-8P 32605-02-0P 34295-27-7P 99844-01-6P 109899-69-6P  
116735-74-1P 318967-60-1P 318967-61-2P 318967-62-3P  
318967-63-4P 318967-64-5P 318967-65-6P 318967-67-8P  
318967-68-9P 318967-69-0P 318967-70-3P 318967-71-4P  
318967-72-5P 318967-73-6P 318967-74-7P 318967-75-8P  
318967-76-9P 318967-77-0P 318967-78-1P 318967-79-2P  
318967-80-5P 318967-81-6P 318967-82-7P 318967-83-8P  
318967-84-9P 318967-85-0P 319459-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of pyridine derivs. as inhibitors of AP-1 activity,  
NF-kappa B activity, inflammatory cytokine prodn., matrix  
metalloprotease prodn., expression of inflammatory cell adhesion  
factor)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L14 ANSWER 3 OF 4 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 121:109397 MARPAT

TITLE: Preparation of ester derivatives of  
4-azasteroids as steroid 5.alpha.-reductase  
inhibitors.

INVENTOR(S): Witzel, Bruce E.; Rasmusson, Gary H.; Tolman,  
Richard L.; Yang, Shu Shu

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323041	A1	19931125	WO 1993-US4771	19930519
W:	AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT,			

Searcher : Shears 308-4994

10/029871

SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
AU 9342525 A1 19931213 AU 1993-42525 19930519  
AU 668181 B2 19960426  
EP 649306 A1 19950426 EP 1993-911362 19930519  
EP 649306 B1 20010110  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT,  
SE  
JP 07508039 T2 19950907 JP 1993-503838 19930519  
AT 198601 E 20010115 AT 1993-911362 19930519  
US 5610162 A 19970311 US 1994-338573 19941117  
PRIORITY APPLN. INFO.: US 1992-886022 19920520  
WO 1993-US4771 19930519  
GI

(CHR1)<sub>n</sub>XCOR<sup>4</sup>  
Me  
Me  
a b  
O N  
R<sup>3</sup>  
R<sup>2</sup> I

AB Title compds. [I; a, b = single bonds, R<sup>2</sup> = H; or a = single bond, b = double bond, and R<sup>2</sup> = null; R<sup>1</sup> = H, aryl, alkyl, aralkyl; R<sup>3</sup> = H, Me, Et, OH, NH<sub>2</sub>, SMe; n = 0-10; X = O, S; R<sup>4</sup> = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepd. as inhibitors of 5.alpha.-reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5.alpha.-4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH<sub>2</sub>Cl<sub>2</sub> at room temp. to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5.alpha.-4-azapregnan-3-one.

IC ICM A61K031-435  
ICS C07D221-02  
CC 32-4 (Steroids)  
Section cross-reference(s): 1  
ST azasteroid ester prepn steroid reductase inhibitor  
IT Hirsutism  
(female, treatment of, azasteroid esters for)  
IT Acne  
(treatment of, azasteroid esters for)  
IT Prostate gland  
(disease, benign hyperplasia, treatment of, azasteroid esters for)  
IT Prostate gland  
(disease, prostatitis, treatment of, azasteroid esters for)  
IT Alopecia  
(male pattern, treatment of, azasteroid esters for)  
IT Prostate gland

Searcher : Shears 308-4994

10/029871

(neoplasm, carcinoma, treatment of, azasteroid esters for)  
IT 9081-34-9, 5.alpha.-Steroid reductase  
RL: USES (Uses)  
(inhibitors, azasteroid esters as)  
IT 104214-41-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
IT 156804-81-8P 156804-82-9P 156804-83-0P 156804-84-1P  
156804-85-2P 156804-86-3P 156804-87-4P 156804-88-5P  
156804-89-6P 156804-90-9P 156804-91-0P 156804-92-1P  
156804-93-2P 156804-94-3P 156804-95-4P 156804-96-5P  
156804-97-6P 156804-98-7P 156804-99-8P 156805-00-4P  
156805-01-5P 156805-02-6P 156805-03-7P 156805-04-8P  
156805-05-9P 156805-06-0P 156805-07-1P 156805-08-2P  
156805-09-3P 156805-10-6P 156805-11-7P 156805-12-8P  
156805-13-9P 156805-14-0P 156805-15-1P 156805-16-2P  
156805-17-3P 156805-18-4P 156805-19-5P 156805-20-8P  
RL: BAC (Biological activity or effector, except adverse); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of, as steroid 5.alpha.-reductase inhibitor)  
IT 624-83-9, Methyl isocyanate 627-03-2, Ethoxyacetic acid  
1609-86-5, tert-Butyl isocyanate 3173-56-6, Benzyl isocyanate  
3282-30-2, Trimethylacetyl chloride 38460-95-6, 10-Undecenoyl  
chloride 76318-67-7 86284-02-8 104319-27-9 114019-70-4,  
11-Ethylthioundecanoic acid 144879-14-1 156804-93-2  
156805-21-9 156924-96-8  
RL: RCT (Reactant)  
(reaction of, in prepn. of steroid 5.alpha.-reductase inhibitor)

L14 ANSWER 4 OF 4 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 120:245602 MARPAT  
TITLE: Preparation of 17-ethers and thioethers of  
4-aza-steroids as steroid reductase inhibitors  
INVENTOR(S): Witzel, Bruce E.; Tolman, Richard L.; Rasmusson,  
Gary H.; Bakshi, Raman K.; Yang, Shu Shu  
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
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FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323040	A1	19931125	WO 1993-US4746	19930519
W:	AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9342521	A1	19931213	AU 1993-42521	19930519
AU 668180	B2	19960426		
EP 641204	A1	19950308	EP 1993-911358	19930519
EP 641204	B1	20000816		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
JP 07508038	T2	19950907	JP 1993-503831	19930519

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AT 195530	E	20000915	AT 1993-911358	19930519
ES 2148229	T3	20001016	ES 1993-911358	19930519
US 5536727	A	19960716	US 1994-338572	19941117
PRIORITY APPLN. INFO.:			US 1992-886031	19920520
			WO 1993-US4746	19930519

GI

Me<sup>Z</sup>

Me

a b

O N  
R3 R2 I

AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepd. as inhibitors of steroid 5.alpha.-reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one and diphenyldiazomethane in CH2Cl2 were treated dropwise with BF3.Et2O to give 17-diphenylmethoxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one.

IC ICM A61K031-435  
ICS C07D221-02

CC 32-4 (Steroids)  
Section cross-reference(s): 1

ST azasteroid ether prepn reductase inhibitor; testosterone reductase inhibitor azasteroid ether; prostatitis treatment azasteroid ether; hyperplasia treatment azasteroid ether; hirsutism treatment azasteroid ether; carcinoma prostatic treatment azasteroid ether

IT Hirsutism  
(female, treatment of, azasteroid ethers for)

IT Acne  
(treatment of, azasteroid ethers for)

IT Steroids, preparation  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(4-aza-, 17-(thio)ethers, prepn. of, as steroid reductase inhibitors)

IT Prostate gland  
(disease, benign hyperplasia, treatment of, azasteroid ethers for)

IT Prostate gland  
(disease, prostatitis, treatment of, azasteroid ethers for)

IT Alopecia  
(male pattern, treatment of, azasteroid ethers for)

IT Prostate gland  
(neoplasm, carcinoma, treatment of, azasteroid ethers for)

Searcher : Shears 308-4994

10/029871

IT 9081-34-9, 5.alpha.-Reductase  
RL: USES (Uses)  
(inhibitors, azasteroid ethers as)

IT 153946-18-0P 153946-19-1P 153946-20-4P 153946-21-5P  
153946-22-6P 153946-23-7P 153946-24-8P 153946-25-9P  
153946-27-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for steroid 5.alpha.-reductase inhibitor)

IT 153945-26-7P 153945-27-8P 153945-28-9P 153945-29-0P  
153945-30-3P 153945-31-4P 153945-32-5P 153945-33-6P  
153945-34-7P 153945-35-8P 153945-36-9P 153945-37-0P  
153945-38-1P 153945-39-2P 153945-40-5P 153945-41-6P  
153945-42-7P 153945-43-8P 153945-44-9P 153945-45-0P  
153945-46-1P 153945-47-2P 153945-48-3P 153945-49-4P  
153945-50-7P 153945-51-8P 153945-52-9P 153945-53-0P  
153945-54-1P 153945-55-2P 153945-56-3P 153945-57-4P  
153945-58-5P 153945-59-6P 153945-60-9P 153945-61-0P  
153945-62-1P 153945-63-2P 153945-64-3P 153945-65-4P  
153945-66-5P 153945-67-6P 153945-68-7P 153945-69-8P  
153945-70-1P 153945-71-2P 153945-72-3P 153945-73-4P  
153945-74-5P 153945-75-6P 153945-76-7P 153945-77-8P  
153945-78-9P 153945-79-0P 153945-80-3P 153945-81-4P  
153945-82-5P 153945-83-6P 153945-84-7P 153945-85-8P  
153945-86-9P 153945-87-0P 153945-88-1P 153945-89-2P  
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153945-94-9P 153945-95-0P 153945-96-1P 153945-97-2P  
153945-98-3P 153945-99-4P 153946-00-0P 153946-01-1P  
153946-02-2P 153946-03-3P 153946-04-4P 153946-05-5P  
153946-06-6P 153946-07-7P 153946-08-8P 153946-09-9P  
153946-10-2P 153946-11-3P 153946-12-4P 153946-13-5P  
153946-14-6P 153946-15-7P 153946-16-8P 153946-17-9P  
RL: BAC (Biological activity or effector, except adverse); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of, as steroid 5.alpha.-reductase inhibitor)

IT 70-34-8, 2,4-Dinitrofluorobenzene 75-12-7, Formamide, reactions  
92-69-3, 4-Hydroxybiphenyl 99-92-3, 4-Aminoacetophenone  
102-49-8, 3,4-Dichlorobenzylamine 324-74-3, 4-Fluorobiphenyl  
334-88-3, Diazomethane 350-46-9 352-32-9, 4-Fluorotoluene  
352-33-0, 4-Fluorochlorobenzene 372-47-4, 3-Fluoropyridine  
405-99-2, 4-Fluorostyrene 460-00-4, 4-Fluorobromobenzene  
623-73-4, Ethyl diazoacetate 638-45-9, Hexyl iodide 769-92-6  
811-51-8, Sodium thioethoxide 883-40-9, Diphenyldiazomethane  
933-40-4, 1,1-Dimethoxycyclohexane 1194-02-1 4377-33-7,  
2-Picolyl chloride 20607-43-6 52267-51-3, Benzyl diazoacetate  
86283-92-3 86284-02-8 104214-41-7 104319-27-9 153946-26-0  
153946-28-2 153946-29-3 154006-53-8  
RL: RCT (Reactant)  
(reaction of, in prepn. of steroid 5.alpha.-reductase inhibitor)

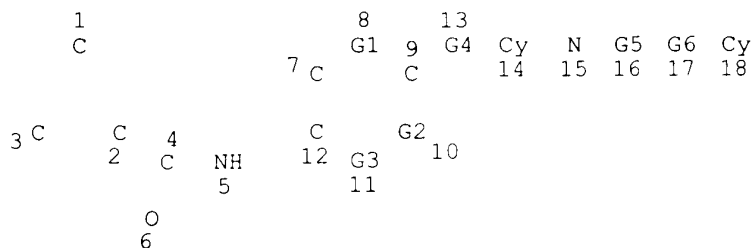
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VAR G1=CH/N  
VAR G2=CH/N  
VAR G3=CH/N  
VAR G4=C/N/O/S  
VAR G5=C/N/S  
REP G6=(0-6) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
MLEVEL IS CLASS ON RING NODES AND RING GROUPS  
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

119 ~~FILE TERMINATED - 668 FOR L5~~ (MODIFIED ATTRIBUTES)

100.0% PROCESSED 112 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.02

FILE 'HOME' ENTERED AT 15:08:49 ON 14 MAY 2002